

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau(43) International Publication Date
5 February 2004 (05.02.2004)

PCT

(10) International Publication Number
WO 2004/011460 A3(51) International Patent Classification⁷: C07D 417/04,
A61P 35/00, A61K 31/428Serguel, V. [BY/CA]; 1904-5885 Olive Avenue, Burnaby,
British Columbia V5H 4N8 (CA).(21) International Application Number:
PCT/CA2003/001078(74) Agents: KINGWELL, Brian, G. et al.; Fetherstonhaugh
& Co., Box 11560, Vancouver Centre, 650 West Georgia
Street, Suite 2200, Vancouver, British Columbia V6B 4N8
(CA).

(22) International Filing Date: 23 July 2003 (23.07.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/398,504 24 July 2002 (24.07.2002) US(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.(71) Applicant (*for all designated States except US*):
KINETEK PHARMACEUTICALS, INC. [CA/CA];
1779 West 75th Avenue, Vancouver, British Columbia
V6P 6P2 (CA).(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

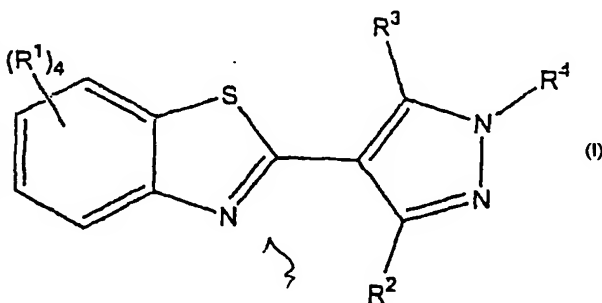
(75) Inventors/Applicants (*for US only*): ZHANG, Zaihui
[CA/CA]; 8120 Fairlane Road, Richmond, British Colum-
bia V7C 1Y4 (CA). DAYNARD, Timothy, S. [CA/CA];
1836 West 62nd Avenue, Vancouver, British Columbia
V6P 2G4 (CA). WANG, Shisen [CA/CA]; 2550 Raven
Court, Coquitlam, British Columbia V3E 2M1 (CA).
DU, Xinyao [CA/CA]; 69-12551 Cambie Road, Rich-
mond, British Columbia V6V 2A4 (CA). CHOPIUK,
Gregory, B. [CA/US]; 387-10994 West Ocean Air Drive,
San Diego, CA 92130 (US). YAN, Jun [CA/CA]; 819
Alderson Avenue, Coquitlam, British Columbia V3K 1V3
(CA). CHEN, Jianxin [CA/CA]; 3948 West 18th Avenue,
Vancouver, British Columbia V6S 1B7 (CA). SVIRIDOV,

Published:

— with international search report

(88) Date of publication of the international search report:
6 May 2004For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: PYRAZOLYL-BENZOTHAZOLE DERIVATIVES AND THEIR USE AS THERAPEUTIC AGENTS

(57) Abstract: A pharmaceutical composition comprising
a pharmaceutically acceptable excipient and a compound of
formula (I): wherein R¹, R² and R³ at each occurrence are
independently selected from amino, aminosulfinyl, aminosul-
fonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl,
hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate,
phosphinate, phosphonate, phosphonium, phosphorothioate,
phosphoryl, sulfamoyl, sulfate, sulfinic acid, sulfonamido,
sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido,
and ureido, and R⁴ is selected from hydrogen, heteroalkyl,
heteroaryl, and hydrocarbyl is described as useful in treatment
of disorders associated with dysregulation of cell proliferation.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/CA 03/01078

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D417/04 A61P35/00 A61K31/428

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 A61K C07D A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, EP0-Internal, WPI Data, BEILSTEIN Data, BIOSIS, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DAWOOD K M ET AL: "HETEROCYCLIC SYNTHESIS VIA ENAMINONITRILES: A CONVENIENT ROUT TO SOME NEW PYRAZOLE, ISOXAZOLE, PYRIMIDINE, PYRAZOLO1,5-APYRIMIDINE, PYRIMIDO 1,2-ABENZIMIDAZOLE AND PYRIDO1,2-ABENZIMIDAZOLE DERIVATIVES" JOURNAL OF CHEMICAL RESEARCH. SYNOPSES, LONDON, GB, no. 4, 1998, pages 208-209, XP001057513 ISSN: 0308-2342 scheme 1, compounds 3/4 --- -/--	25

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

4 November 2003

Date of mailing of the international search report

19.01.2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl.
Fax: (+31-70) 340-3016

Authorized officer

Steendijk, M

INTERNATIONAL SEARCH REPORT

International Application No

PCT/CA 03/01078

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>NAWWAR G A M ET AL: "Synthesis of 2-substituted benzothiazoles containing amino acid, imino or heteroaryl moieties with anticipated fungicidal activity" COLLECTION OF CZECHOSLOVAK CHEMICAL COMMUNICATIONS, ACADEMIC PRESS, LONDON, GB, vol. 60, no. 12, 1995, pages 2200-2208, XP002079196 ISSN: 0010-0765 page 2202</p> <p>---</p>	26
X	<p>WO 01 53331 A (ALI ANMAR ;NI FENG (CA); CHEN ZHIGANG (CA); GOUR BARBARA J (CA); A) 26 July 2001 (2001-07-26) see fig. 90/201, Compound 266</p> <p>---</p>	25,26
X	<p>DATABASE WPI Section Ch, Week 197742 Derwent Publications Ltd., London, GB; Class A60, AN 1977-75291Y XP002260117 -& JP 52 108427 A (HODOGAYA CHEM IND CO LTD), 10 September 1977 (1977-09-10) abstract par. -15-</p> <p>---</p>	26
X	<p>DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 2000 FADDA ET AL.: "Revised synthetis of ..." retrieved from STN, accession no. 133:281720 XP002260110 RN: 300352-28, 300352-29-8 abstract & PHOSPH.SULF.SILIC., vol. 155, 1999, page 59-66</p> <p>---</p>	24,29
X	<p>DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1995 GORBULENKO: "Chemistry of isoflavone..." retrieved from STN Database accession no. 122:290759 XP002260111 RN:136507-02-3,163126-67-8 abstract & HETEROCYCLIC CHEMISTRY JOURNAL (TRANSL.), no. 4, 1994, pages 464--471,</p> <p>---</p> <p>-/--</p>	24-26

INTERNATIONAL SEARCH REPORT

International Application No

PC1/CA 03/01078

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1994 NAWWAR ET AL.: "Simple synthesis of several..." retrieved from STN Database accession no. 120:164052 XP002260112 RN: 153259-09-7 abstract & PHOSPH.SULF.SILIC., vol. 79, no. 1-4, 1993, pages 195-205, ---</p>	29
X	<p>DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1984 MOHARRAM ET AL.: "Synthesis of some benothiazole..." retrieved from STN Database accession no. 101:151788 XP002260113 RN: 51864-18-7 abstract & EGYPT.J.CHEM., vol. 26, no. 3, 1983, pages 261-266, ---</p>	25
X	<p>DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1974 JAYANTH ET AL.: "Vilsmeier-Haack reaction..." retrieved from STN Database accession no. 80:108415 XP002260114 RN: 40142-85-6,51864-18-7,51864-37-0 abstract & IND.J.CHEM., vol. 11, no. 11, 1973, pages 1112-1114, ---</p>	25
X	<p>DATABASE CHEMCATS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; retrieved from STN XP002260115 order number: 7211230280, 7211230233, BAS 2771192, BAS 2771170, 4356-0350, BAS 1057791, 4356-0422 & 9 July 2002 (2002-07-09) , INTERCHIM INTERMEDIATES --- -/--</p>	25,26,28

INTERNATIONAL SEARCH REPORT

International Application No
PCT/CA 03/01078

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CHEMCATS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; retrieved from STN XP002260116 order number: 6946294, 6945075 & 17 January 2002 (2002-01-17) , CHEMBRIDGE PRODUCT LIST</p>	25,26
A	<p>WO 01 77080 A (COSTELLO PENELOPE C ;DAYNARD TIMOTHY SCOTT (CA); KINETEK PHARMACEU) 18 October 2001 (2001-10-18) page 45; example 52</p>	1-76
P,A	<p>WO 02 062804 A (FELDER EDUARD ;BERTA DANIELA (IT); VULPETTI ANNA (IT); VILLA MARZI) 15 August 2002 (2002-08-15) claims</p>	1-76
P,X	<p>WO 03 055860 A (CANCER RES TECHNOLOGY LTD ;DRYSDALE MARTIN JAMES (GB); PEARL LAURE) 10 July 2003 (2003-07-10)</p> <p>examples 84,100,119,146</p>	1-5,8, 12, 14-16, 22,26, 28,30, 31,53,54

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/CA 03/01078

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0153331	A	26-07-2001	AU 3115401 A WO 0153331 A2 US 2002168761 A1	31-07-2001 26-07-2001 14-11-2002
JP 52108427	A	10-09-1977	NONE	
WO 0177080	A	18-10-2001	US 6214813 B1 US 2002042501 A1 AU 2991501 A WO 0177080 A2 CA 2405408 A1 EP 1276723 A2 US 2003060453 A1	10-04-2001 11-04-2002 23-10-2001 18-10-2001 18-10-2001 22-01-2003 27-03-2003
WO 02062804	A	15-08-2002	CA 2437260 A1 WO 02062804 A1	15-08-2002 15-08-2002
WO 03055860	A	10-07-2003	WO 03055860 A1	10-07-2003

BEST AVAILABLE COPY